

=> d his full

(FILE 'HOME' ENTERED AT 09:39:41 ON 16 DEC 2005)

L1 FILE 'LREGISTRY' ENTERED AT 09:44:54 ON 16 DEC 2005
STRUCTURE

L2 FILE 'REGISTRY' ENTERED AT 10:02:16 ON 16 DEC 2005
0 SEA SSS SAM L1
D QUE STAT
L3 STRUCTURE

L4 FILE 'REGISTRY' ENTERED AT 10:08:08 ON 16 DEC 2005
0 SEA SSS SAM L3
L5 STRUCTURE
L6 0 SEA SSS SAM L5
L7 1 SEA SSS FUL L5
D SCAN

L8 FILE 'BEILSTEIN' ENTERED AT 10:12:19 ON 16 DEC 2005
0 SEA SSS SAM L5
L9 0 SEA SSS FUL L5

L10 FILE 'MARPAT' ENTERED AT 10:13:04 ON 16 DEC 2005
0 SEA SSS SAM L5
L11 0 SEA SSS FUL L5

L12 FILE 'HCAPLUS' ENTERED AT 10:17:26 ON 16 DEC 2005
5 SEA ABB=ON PLU=ON L7

L13 FILE 'CAOLD' ENTERED AT 10:17:47 ON 16 DEC 2005
0 SEA ABB=ON PLU=ON L7

FILE 'REGISTRY' ENTERED AT 10:18:24 ON 16 DEC 2005
D L7 LC

FILE 'CAOLD' ENTERED AT 10:18:24 ON 16 DEC 2005

L14 FILE 'USPATFULL, USPAT2' ENTERED AT 10:19:49 ON 16 DEC 2005
7 SEA ABB=ON PLU=ON L7

L15 FILE 'HCAPLUS, USPATFULL, USPAT2' ENTERED AT 10:20:55 ON 16 DEC 2005
9 DUP REM L12 L14 (3 DUPLICATES REMOVED)
ANSWERS '1-5' FROM FILE HCAPLUS
ANSWERS '6-9' FROM FILE USPATFULL

FILE HOME

FILE LREGISTRY
LREGISTRY IS A STATIC LEARNING FILE

NEW CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

FILE REGISTRY
Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 15 DEC 2005 HIGHEST RN 870070-25-0

DICTIONARY FILE UPDATES: 15 DEC 2005 HIGHEST RN 870070-25-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

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*****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
*****
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Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

FILE BEILSTEIN

FILE LAST UPDATED ON OCTOBER 10, 2005

FILE COVERS 1771 TO 2005.

FILE CONTAINS 9,363,954 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in
separate documents and can not be searched together in one query.
Reaction data for BEILSTEIN compounds may be displayed
immediately with the display codes PRE (preparations) and REA
(reactions). A substance answer set retrieved after the search
for a chemical name, a compounds with available reaction
information by combining with PRE/FA, REA/FA or more generally
with RX/FA. The BEILSTEIN Registry Number (BRN) is the link
between a BEILSTEIN compound and belonging reactions. For mo
detailed reaction searches BRNs can be searched as reaction
partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

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*****
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *
*****
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NEW

* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE
SEARCHED, SELECTED AND TRANSFERRED.
* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,

**ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A
COMPOUND AT A GLANCE.**

FILE MARPAT

FILE CONTENT: 1988-PRESENT (VOL 143 ISS 24) (20051211/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6943267 13 SEP 2005
DE 1020040544 15 SEP 2005
EP 1577935 21 SEP 2005
JP 2005272454 06 OCT 2005
WO 2005097137 20 OCT 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

MARPATpreviews will be removed from STN on December 31, 2005.

FILE HCAPLUS

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FILE COVERS 1907 - 16 Dec 2005 VOL 143 ISS 26
FILE LAST UPDATED: 15 Dec 2005 (20051215/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE CAOLD

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 15 Dec 2005 (20051215/PD)
FILE LAST UPDATED: 15 Dec 2005 (20051215/ED)
HIGHEST GRANTED PATENT NUMBER: US6976271
HIGHEST APPLICATION PUBLICATION NUMBER: US2005278816
CA INDEXING IS CURRENT THROUGH 15 Dec 2005 (20051215/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 15 Dec 2005 (20051215/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2005

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>>> USPAT2 is now available.  USPATFULL contains full text of the  <<<
>>> original, i.e., the earliest published granted patents or  <<<
>>> applications.  USPAT2 contains full text of the latest US  <<<
>>> publications, starting in 2001, for the inventions covered in  <<<
>>> USPATFULL.  A USPATFULL record contains not only the original  <<<
>>> published document but also a list of any subsequent  <<<
>>> publications.  The publication number, patent kind code, and  <<<
>>> publication date for all the US publications for an invention  <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL  <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc.  <<<

>>> USPATFULL and USPAT2 can be accessed and searched together  <<<
>>> through the new cluster USPATALL.  Type FILE USPATALL to  <<<
>>> enter this cluster.  <<<
>>>  <<<
>>> Use USPATALL when searching terms such as patent assignees,  <<<
>>> classifications, or claims, that may potentially change from  <<<
>>> the earliest to the latest publication.  <<<
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This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE USPAT2

FILE COVERS 2001 TO PUBLICATION DATE: 15 Dec 2005 (20051215/PD)
FILE LAST UPDATED: 15 Dec 2005 (20051215/ED)
HIGHEST GRANTED PATENT NUMBER: US2004010853
HIGHEST APPLICATION PUBLICATION NUMBER: US2005278014
CA INDEXING IS CURRENT THROUGH 15 Dec 2005 (20051215/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 15 Dec 2005 (20051215/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2005

USPAT2 is a companion file to USPATFULL. USPAT2 contains full text of the latest US publications, starting in 2001, for the inventions covered in USPATFULL. USPATFULL contains full text of the original published US patents from 1971 to date and the original applications from 2001. In addition, a USPATFULL record for an invention contains a complete list of publications that may be searched in standard search fields, e.g., /PN, /PK, etc.

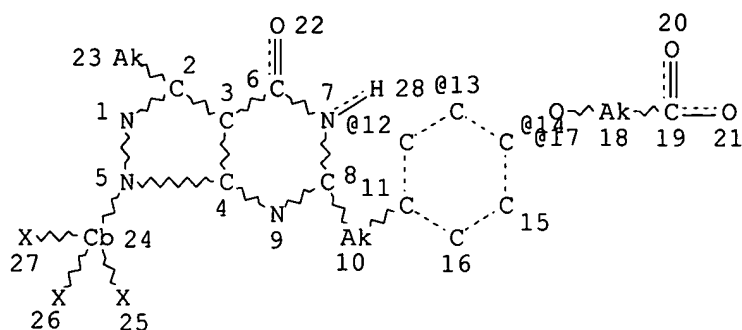
USPATFULL and USPAT2 can be accessed and searched together through the new cluster USPATALL. Type FILE USPATALL to enter this cluster.

Use USPATALL when searching terms such as patent assignees, classifications, or claims, that may potentially change from the earliest to the latest publication.

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L5

STR



VPA 17-12/13/14 U

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 10

CONNECT IS E1 RC AT 21

CONNECT IS E1 RC AT 23

DEFAULT MLEVEL IS ATOM

GGCAT IS LOC AT 10

GGCAT IS LOC AT 18

GGCAT IS LOC AT 23

GGCAT IS MCY UNS AT 24

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E6 C AT 24

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE

L7 1 SEA FILE=REGISTRY SSS FUL L5

L12 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L7

L14 7 SEA L7

L15 9 DUP REM L12 L14 (3 DUPLICATES REMOVED)

=> d l15 ibib abs hitstr 1-9

L15 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2005:2193 HCAPLUS

DOCUMENT NUMBER: 142:51353

TITLE: Pyrazole derivative inhibitors of cyclin-dependent kinases for use as antitumor and antiviral agents

INVENTOR(S): Becker, Frank; Bockovich, Nicholas; Come, Jon H.; Kluge, Arthur; Murthi, Krishna K.; Oalman, Chris; Ram, Siya; Wang, Zhongguo

PATENT ASSIGNEE(S): GPC Biotech, Inc., USA; GPC Biotech A.-G.

SOURCE: U.S. Pat. Appl. Publ., 103 pp., Cont.-in-part of Appl. No. PCT/US02/33052.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

| | | | | |
|---------------|----|----------|----------------|----------|
| US 2004266854 | A1 | 20041230 | US 2004-820453 | 20040407 |
| WO 2002070662 | A2 | 20020912 | WO 2002-US6677 | 20020304 |
| WO 2002070662 | A3 | 20021227 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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|---------------|----|----------|-----------------|----------|
| US 2003165873 | A1 | 20030904 | US 2002-91177 | 20020304 |
| US 2004043388 | A1 | 20040304 | US 2002-234985 | 20020903 |
| WO 2003033499 | A2 | 20030424 | WO 2002-US33052 | 20021015 |
| WO 2003033499 | A3 | 20030814 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

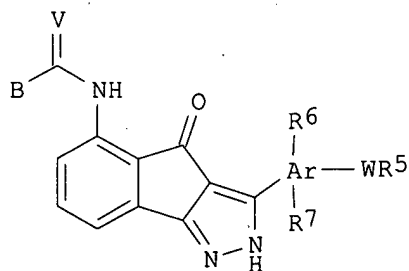
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

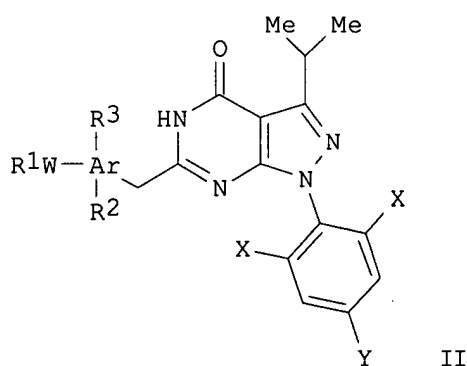
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| US 2001-272932P | P | 20010302 |
| US 2001-329437P | P | 20011015 |
| US 2001-336962P | P | 20011203 |
| US 2002-91177 | A2 | 20020304 |
| WO 2002-US6677 | A2 | 20020304 |
| US 2002-234985 | A2 | 20020903 |
| WO 2002-US33052 | A2 | 20021015 |
| US 2003-460921P | P | 20030407 |
| US 2003-531872P | P | 20031223 |
| US 2001-278233P | P | 20010323 |

OTHER SOURCE(S):
GI

MARPAT 142:51353



I



II

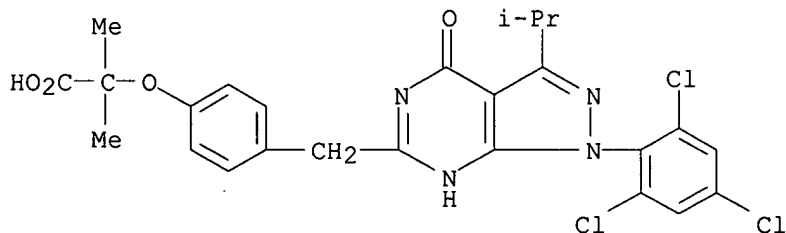
AB Title compds. I [B = MnR8; Ar = aryl, heteroaryl; V = O, S, NCN; W = O, S, N-R'; R' = H, lower alkyl, metal counterion; R5 = H, P(O)(OR')2, MnQ; R6 = H, OH, MnQ, provided that one and only one of R5 and R6 = H; R7 = H, halo, OH, lower alkyl, lower alkoxy; R8 = (un)substituted-alkyl, -alkenyl, -aryl, etc.; M = (un)substituted methylene, O, S, etc., where n = 1-4 when present in B, 0-6 when present in R5, and 1-3 when present in R6; Q = (un)substituted tertiary amino substituent, N-containing heterocycle] and II [X = Me, halo; Y = H, X, sulfonamide; R1 = H, P(O)(OR')2, MnQ; R3 = 0-3 substituents on the ring to which it is attached, selected from halo, alkyl, alkoxy, etc.; Ar and W as in I], as well as their pharmaceutically acceptable salts, are prepared and disclosed as novel cyclin dependent kinase (cdk) inhibitors. Thus, e.g., III.2HCl was prepared via substitution of N-morpholin-4-yl(4-nitrophenoxy)carboxamide (preparation given) with 4-amino-2-{4-(5,5-dimethyl-1,3-dioxan-2-yl)phenylcarbonyl}-2H-cyclopent a[1,2-a]benzene-1,3-dione (preparation given) followed by cyclocondensation with hydrazine, deprotection of formyl moiety, amidation with N-(2-methoxyethyl)-piperazine and subsequent reduction. Specifically, but not exclusively, I are disclosed as inhibitors of cdk/cyclin complexes. As described herein, the inhibitors of this invention are capable of inhibiting the cell-cycle machinery and consequently may be useful in modulating cell-cycle progression, ultimately controlling cell growth and differentiation. Such compds. would be useful for treating subjects having disorders associated with excessive cell proliferation, such as cancer. Addnl., the compds. may be useful as antivirals.

IT 452913-20-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(pyrazole derivative inhibitors of cyclin-dependent kinases for use as antitumor and antiviral agents)

RN 452913-20-1 HCAPLUS

CN Propanoic acid, 2-[4-[[4,5-dihydro-3-(1-methylethyl)-4-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-6-yl]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



L15 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2004:182368 HCAPLUS

DOCUMENT NUMBER: 140:229401

TITLE: Three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands

INVENTOR(S): Come, Jon H.; Becker, Frank; Kley, Nikolai A.; Reichel, Christoph

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 238 pp., Cont.-in-part of U.S. Ser. No. 91,177.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2004043388 | A1 | 20040304 | US 2002-234985 | 20020903 |
| US 2003165873 | A1 | 20030904 | US 2002-91177 | 20020304 |
| US 2004266854 | A1 | 20041230 | US 2004-820453 | 20040407 |

PRIORITY APPLN. INFO.:

| | | |
|-----------------|----|----------|
| US 2001-272932P | P | 20010302 |
| US 2001-278233P | P | 20010323 |
| US 2001-329437P | P | 20011015 |
| US 2002-91177 | A2 | 20020304 |
| US 2001-336962P | P | 20011203 |
| WO 2002-US6677 | A2 | 20020304 |
| US 2002-234985 | A2 | 20020903 |
| WO 2002-US33052 | A2 | 20021015 |
| US 2003-460921P | P | 20030407 |
| US 2003-531872P | P | 20031223 |

AB The invention provides compns. and methods for isolating ligand-binding polypeptides for a user-specified ligand, and for isolating small mol. ligands for a user-specified target polypeptide using an improved class of hybrid ligand compds. Preparation of compds., e.g a methotrexate moiety linked by a polyethylene glycol moiety to dexamethasone, is described.

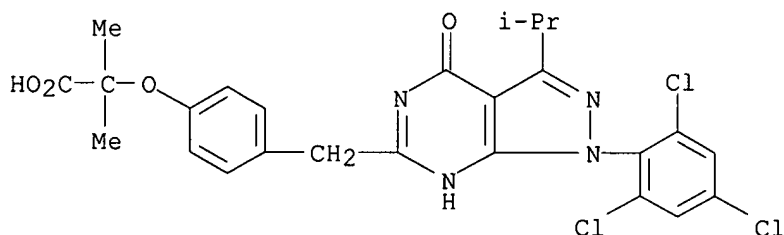
IT **452913-20-1D**, conjugates

RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands)

RN 452913-20-1 HCAPLUS

CN Propanoic acid, 2-[4-[[[4,5-dihydro-3-(1-methylethyl)-4-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-6-yl]methyl]phenoxy]-2-methyl-(9CI) (CA INDEX NAME)



RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands)

L15 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:902355 HCAPLUS

DOCUMENT NUMBER: 141:395546

TITLE: Preparation of pyrazole derivatives as inhibitors of cyclin-dependent kinases, compositions and uses related thereto

INVENTOR(S): Bockovich, Nicholas; Kluge, Arthur; Oalman, Chris; Murthi, Krishna K.; Ram, Siya; Wang, Zhongguo; Huang, Jianxing

PATENT ASSIGNEE(S): GPC Biotech, Inc., USA

SOURCE: PCT Int. Appl., 172 pp.

DOCUMENT TYPE: CODEN: PIXXD2
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: English
 PATENT INFORMATION: 6

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|-------------------|-----------------|------------|
| WO 2004092139 | A2 | 20041028 | WO 2004-US10381 | 20040406 |
| WO 2004092139 | A3 | 20050331 | | |
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| CA 2521854 | AA | 20041028 | CA 2004-2521854 | 20040406 |
| US 2004266853 | A1 | 20041230 | US 2004-819899 | 20040406 |
| PRIORITY APPLN. INFO.: | | | US 2003-460921P | P 20030407 |
| | | | US 2003-531872P | P 20031223 |
| | | | WO 2004-US10381 | W 20040406 |
| OTHER SOURCE(S): | | MARPAT 141:395546 | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

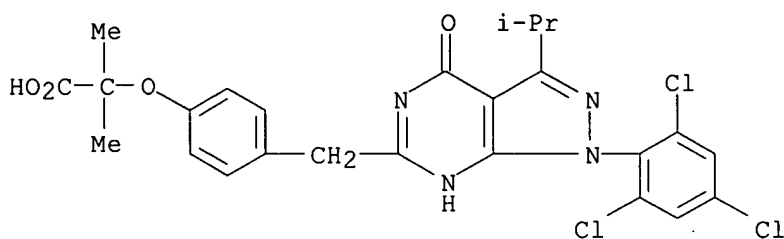
AB Title compds. I [B = MnR8; Ar = aryl or heteroaryl ring; V = O, S, or NCN; W = O, S, SO₂ CO, CS, CH₂, NH, or N-alkyl; R₅ = H, P(O)(OR')₂, MnJK, MnQ; R' = H, alkyl, or metal counterion; R₆ = H, OH, or MnQ, provided that one and only one of R₅ and R₆ = H; R₇ = H, halo, OH, alkyl, or alkoxy; R₈ = (un)substituted-alkyl, -alkenyl, -aryl, etc.; J = CO, CS, or SO₂; K = OR', NH, N-alkyl, etc.; M = (un)substituted methylene group, O, S, etc., where n = 1-7 when present in B, 0-6 when present in R₅, and 1-3 when present in R₆; Q = (un)substituted N-containing heteroaryl ring, secondary or tertiary amino substituent, or N-containing heterocycle] and II [X = Me or halo; Y = H, X, or sulfonamide; R₁ = H, P(O)(OR')₂, or MnQ; R₃ = 0-3 substituents on the ring to which it is attached, selected from halo, alkyl, alkoxy, etc.], as well as their pharmaceutically acceptable salts, are prepared and disclosed as novel cyclin dependent kinase inhibitors (cdks). Thus, e.g., III·2HCl was prepared via substitution of N-morpholin-4-yl(4-nitrophenoxy)carboxamide (preparation given) with 4-amino-2-{4-(5,5-dimethyl-1,3-dioxan-2-yl)phenylcarbonyl}-2H-cyclopenta[1,2-a]benzene-1,3-dione (preparation given) followed by cyclocondensation with hydrazine, deprotection of formyl moiety, amidation with N-(2-methoxyethyl)-piperazine and subsequent reduction. Specifically, but not exclusively, I are disclosed as inhibitors of cdk/cyclin complexes. As described herein, the inhibitors of this invention are capable of inhibiting the cell-cycle machinery and consequently may be useful in modulating cell-cycle progression, ultimately controlling cell growth and differentiation. Such compds. would be useful for treating subjects having disorders associated with excessive cell proliferation.

IT 452913-20-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of pyrazole derivs. as inhibitors of
cyclin-dependent kinases)

RN 452913-20-1 HCAPLUS

CN Propanoic acid, 2-[4-[[4,5-dihydro-3-(1-methylethyl)-4-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-6-yl]methyl]phenoxy]-2-methyl-
(9CI) (CA INDEX NAME)



L15 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:319902 HCAPLUS

DOCUMENT NUMBER: 138:338168

TITLE: Preparation arylalkyl substituted pyrazolo[5,4-d]pyrimidines and related analogs as inhibitors of
cyclin-dependent kinases

INVENTOR(S): Bockovich, Nicholas; Kluge, Arthur F.; Ram, Siya;
Wang, Zhonghuo; Oalmann, Chris; Murthi, Krishna K.

PATENT ASSIGNEE(S): GPC Biotech Inc., USA

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2003033499 | A2 | 20030424 | WO 2002-US33052 | 20021015 |
| WO 2003033499 | A3 | 20030814 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2463571 | AA | 20030424 | CA 2002-2463571 | 20021015 |
| EP 1446405 | A2 | 20040818 | EP 2002-797047 | 20021015 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | |
| JP 2005511535 | T2 | 20050428 | JP 2003-536238 | 20021015 |
| US 2005090471 | A1 | 20050428 | US 2003-492116 | 20021015 |
| US 2003162797 | A1 | 20030828 | US 2002-321284 | 20021217 |
| US 6753329 | B2 | 20040622 | | |

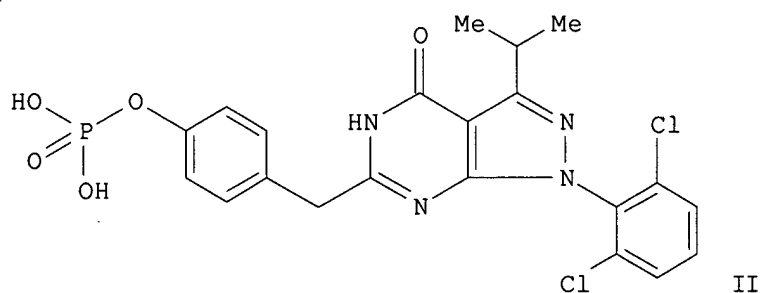
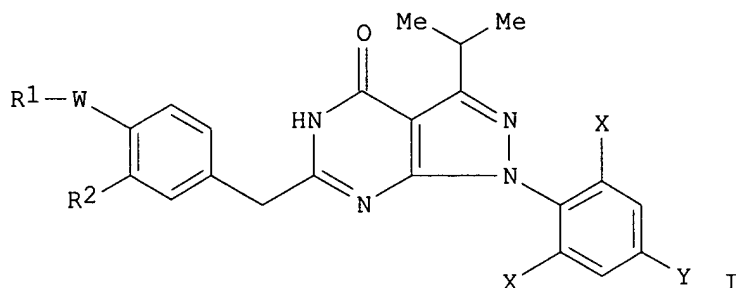
US 2004266854
PRIORITY APPLN. INFO.:

A1 20041230

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| US 2004-820453 | 20040407 |
| US 2001-329437P | P 20011015 |
| US 2001-336962P | P 20011203 |
| US 2001-272932P | P 20010302 |
| US 2002-91177 | A2 20020304 |
| WO 2002-US6677 | A2 20020304 |
| US 2002-234985 | A2 20020903 |
| WO 2002-US33052 | W 20021015 |
| US 2003-460921P | P 20030407 |
| US 2003-531872P | P 20031223 |

OTHER SOURCE(S):
GI

MARPAT 138:338168



AB Title compds. I [W = O; NR'; X = halo, F, Cl, Br, I; Y = H, X; R1 = H, PO(OR')₂, MnQ; R2 = H, MnQ, provided that one and only one of R1/R2 = H; M = CH₂, O, SOO-2, etc.; n = 1-5; Q = tertiary amino] are prepared For instance, (1-chloro-2-methylpropylidene)methane-1,1-dicarbonitrile (preparation given) is reacted with 2,6-dichlorophenylhydrazine•HCl (THF, Et₃N, reflux, 18 h) to give 5-amino-1-(2,6-dichlorophenyl)-3-isopropyl-1H-pyrazole-4-carbonitrile. This intermediate is converted to the corresponding amide (H₂SO₄) and reacted with Et 4-hydroxyphenylacetate (EtOH, NaOEt) resulting in the formation of the corresponding pyrazolo[3,4-d]pyrimidin-4-one intermediate. This was derivatized with di-(tert-butyl) N,N-diisopropylphosphoramidite (DMF, tetrazole, 3 h), the resulting intermediate treated with mCPBA and finally deprotected (TFA) to give II. Compds. of the invention were tested for activity against cyclin dependent kinases, e.g., Cdk2/cyclin E, Cdk4/cyclin D1, etc. I are capable of inhibiting the cell-cycle machinery and consequently may be useful in modulating cell-cycle progression, ultimately controlling cell

growth and differentiation. Such compds. are useful for treating subjects having disorders associated with excessive cell proliferation.

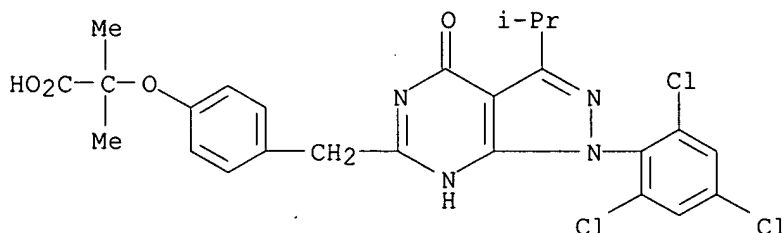
IT **452913-20-1**

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation arylalkyl substituted pyrazolo[5,4-d]pyrimidines and indeno[1,2-c]pyrazoles as inhibitors of cyclin-dependent kinases)

RN 452913-20-1 HCAPLUS

CN Propanoic acid, 2-[4-[[4,5-dihydro-3-(1-methylethyl)-4-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-6-yl]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



L15 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:696096 HCAPLUS

DOCUMENT NUMBER: 137:197882

TITLE: Three hybrid assay system

INVENTOR(S): Becker, Frank; Come, John H.; Kley, Nikolai

PATENT ASSIGNEE(S): Gpc Biotech Ag, Germany; Gpc Biotech Inc.

SOURCE: PCT Int. Appl., 253 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2002070662 | A2 | 20020912 | WO 2002-US6677 | 20020304 |
| WO 2002070662 | A3 | 20021227 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2439263 | AA | 20020912 | CA 2002-2439263 | 20020304 |
| EP 1364212 | A2 | 20031126 | EP 2002-723332 | 20020304 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| JP 2005516580 | T2 | 20050609 | JP 2002-570690 | 20020304 |
| US 2004266854 | A1 | 20041230 | US 2004-820453 | 20040407 |
| PRIORITY APPLN. INFO.: | | | US 2001-272932P | P 20010302 |
| | | | US 2001-278233P | P 20010323 |
| | | | US 2001-329437P | P 20011015 |
| | | | US 2001-336962P | P 20011203 |

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|-----------------|-------------|
| US 2002-91177 | A2 20020304 |
| WO 2002-US6677 | W 20020304 |
| US 2002-234985 | A2 20020903 |
| WO 2002-US33052 | A2 20021015 |
| US 2003-460921P | P 20030407 |
| US 2003-531872P | P 20031223 |

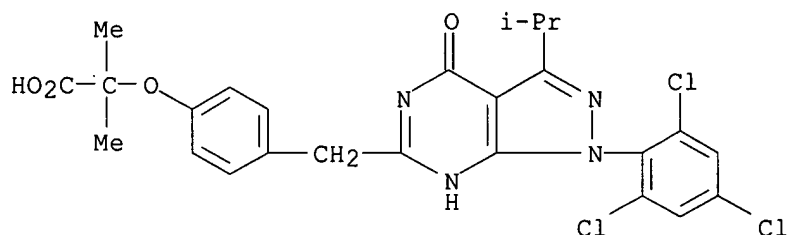
AB The invention concerns compns. and methods for isolating ligand binding polypeptides for a user-specified ligand, and for isolating small mol. ligands for a user-specified target polypeptide using an improved class of hybrid ligand compds. In general the invention provides a three hybrid assay system and reagents for the identification of the protein binding partner of a selected small pharmaceutical agent. Likewise, the invention also provides methods and reagents for the identification of a small pharmaceutical agent binding partner of a selected protein. Once detected, the invention further provides methods for monitoring the interaction of the pharmaceutical agent and its protein binding partner that can be used to detect competitors of the interaction.

IT **452913-20-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(three hybrid assay system)

RN 452913-20-1 HCAPLUS

CN Propanoic acid, 2-[4-[[4,5-dihydro-3-(1-methylethyl)-4-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-6-yl]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



L15 ANSWER 6 OF 9 USPATFULL on STN

DUPLICATE 3

ACCESSION NUMBER: 2003:232596 USPATFULL

TITLE: Inhibitors of cyclin-dependent kinases, compositions and uses related thereto

INVENTOR(S): Bockovich, Nicholas, Malden, MA, UNITED STATES
Kluge, Arthur, Lincoln, MA, UNITED STATES
Ram, Siya, Winchester, MA, UNITED STATES
Wang, Zhonghuo, Lexington, MA, UNITED STATES
Oalmann, Chris, Waltham, MA, UNITED STATES
Murthi, Krishna K., Cambridge, MA, UNITED STATES

| | NUMBER | KIND | DATE |
|-----------------------|---|------|---------------|
| PATENT INFORMATION: | US 2003162797 | A1 | 20030828 |
| | US 6753329 | B2 | 20040622 |
| APPLICATION INFO.: | US 2002-321284 | A1 | 20021217 (10) |
| RELATED APPLN. INFO.: | Continuation of Ser. No. WO 2002-US33052, filed on 15 Oct 2002, PENDING | | |

| NUMBER | DATE |
|--------|-------|
| ----- | ----- |

PRIORITY INFORMATION: US 2001-336962P 20011203 (60)
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ROPES & GRAY LLP, ONE INTERNATIONAL PLACE, BOSTON, MA,
02110-2624
NUMBER OF CLAIMS: 27
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 8 Drawing Page(s)
LINE COUNT: 1937

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention pertains to novel cyclin dependent kinase inhibitors (cdks) and specifically, but not exclusively, as inhibitors of cdk/cyclin complexes. As described herein, the inhibitors of this invention are capable of inhibiting the cell-cycle machinery and consequently may be useful in modulating cell-cycle progression, ultimately controlling cell growth and differentiation. Such compounds would be useful for treating subjects having disorders associated with excessive cell proliferation.

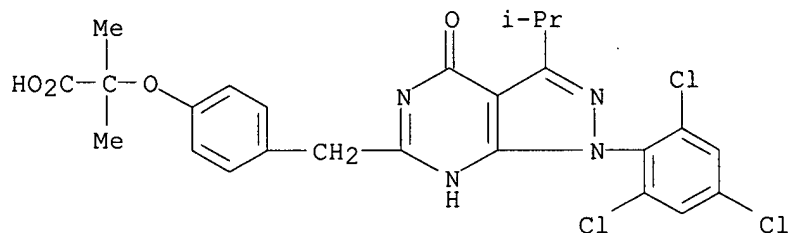
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 452913-20-1P

(three hybrid assay system)

RN 452913-20-1 USPATFULL

CN Propanoic acid, 2-[4-[[[4,5-dihydro-3-(1-methylethyl)-4-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-6-yl]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



WO 2002-US33052

20021015

| | NUMBER | DATE |
|-----------------------|---|---------------|
| PRIORITY INFORMATION: | US 2003-329437P | 20011015 (60) |
| | US 2003-336962P | 20011203 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | FISH & NEAVE IP GROUP, ROPES & GRAY LLP, ONE INTERNATIONAL PLACE, BOSTON, MA, 02110-2624, US | |
| NUMBER OF CLAIMS: | 15 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 8 Drawing Page(s) | |
| LINE COUNT: | 1827 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention pertains to novel cyclin dependent kinase inhibitors (cdks) and specifically, but not exclusively, as inhibitors of cdk/cyclin complexes. As described herein, the inhibitors of this invention are capable of inhibiting the cell-cycle machinery and consequently may be useful in modulating cell-cycle progression, ultimately controlling cell growth and differentiation. Such compounds would be useful for treating subjects having disorders associated with excessive cell proliferation.

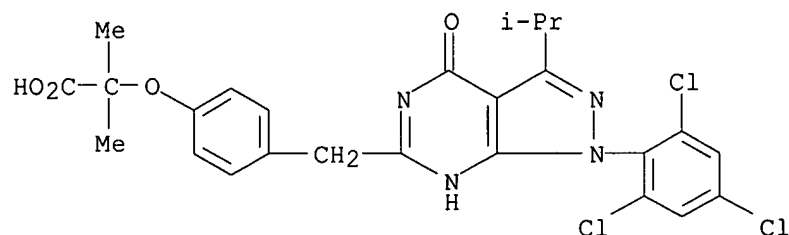
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 452913-20-1P

(three hybrid assay system)

RN 452913-20-1 USPATFULL

CN Propanoic acid, 2-[4-[[4,5-dihydro-3-(1-methylethyl)-4-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



L15 ANSWER 8 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2004:335759 USPATFULL

TITLE: Inhibitors of cyclin-dependent kinases, compositions and uses related thereto

INVENTOR(S): Bockovich, Nicholas, Malden, MA, UNITED STATES
Kluge, Arthur, Lincoln, MA, UNITED STATES
Oalmann, Chris, Watertown, MA, UNITED STATES
Murthi, Krishna K., Cambridge, MA, UNITED STATES
Ram, Siya, Winchester, MA, UNITED STATES
Wang, Zhongguo, Lexington, MA, UNITED STATES
Huang, Jianxing, N. Billerica, MA, UNITED STATES
PATENT ASSIGNEE(S): GPC Biotech, Inc., Waltham, MA, UNITED STATES (U.S. corporation)

| NUMBER | KIND | DATE |
|--------|------|------|
|--------|------|------|

| | | | |
|---------------------|----------------|----|---------------|
| PATENT INFORMATION: | US 2004266853 | A1 | 20041230 |
| APPLICATION INFO.: | US 2004-819899 | A1 | 20040406 (10) |

| | NUMBER | DATE |
|-----------------------|---|---------------|
| PRIORITY INFORMATION: | US 2003-460921P | 20030407 (60) |
| | US 2003-531872P | 20031223 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | ROPES & GRAY LLP, ONE INTERNATIONAL PLACE, BOSTON, MA, 02110-2624 | |
| NUMBER OF CLAIMS: | 44 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 15 Drawing Page(s) | |
| LINE COUNT: | 4149 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention pertains to novel cyclin dependent kinase inhibitors (cdks) and specifically, but not exclusively, as inhibitors of cdk/cyclin complexes. As described herein, the inhibitors of this invention are capable of inhibiting the cell-cycle machinery and consequently may be useful in modulating cell-cycle progression, ultimately controlling cell growth and differentiation. Such compounds would be useful for treating subjects having disorders associated with excessive cell proliferation.

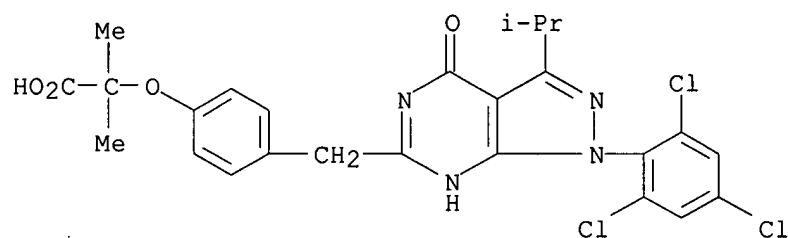
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 452913-20-1P

(three hybrid assay system)

RN 452913-20-1 USPATFULL

CN Propanoic acid, 2-[4-[[4,5-dihydro-3-(1-methylethyl)-4-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-6-yl]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



L15 ANSWER 9 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2003:237716 USPATFULL

TITLE: Three hybrid assay system

INVENTOR(S): Come, Jon H., Cambridge, MA, UNITED STATES
 Becker, Frank, Planegg, GERMANY, FEDERAL REPUBLIC OF
 Kley, Nikolai, Wellesley, MA, UNITED STATES

| | NUMBER | KIND | DATE |
|---------------------|---------------|------|---------------|
| PATENT INFORMATION: | US 2003165873 | A1 | 20030904 |
| APPLICATION INFO.: | US 2002-91177 | A1 | 20020304 (10) |

| NUMBER | DATE |
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PRIORITY INFORMATION: US 2001-272932P 20010302 (60)
US 2001-278233P 20010323 (60)
US 2001-329437P 20011015 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ROPES & GRAY, ONE INTERNATIONAL PLACE, BOSTON, MA,
02110-2624

NUMBER OF CLAIMS: 66
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 22 Drawing Page(s)
LINE COUNT: 7160

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides compositions and methods for isolating ligand binding polypeptides for a user-specified ligand, and for isolating small molecule ligands for a user-specified target polypeptide using an improved class of hybrid ligand compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **452913-20-1P**
(three hybrid assay system)

RN 452913-20-1 USPATFULL

CN Propanoic acid, 2-[4-[[[4,5-dihydro-3-(1-methylethyl)-4-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-6-yl]methyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)

